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SEARCH REQUEST FORM

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DAVID LUKYON

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Art Unit:

1653

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3213

Serial Number:

Results Format Preferred (circle): PAPER DISK E-MAIL

09/089894

----- an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title: Betulinol Derivatives

Inventors: BOMSHTEYN, ARKADIY L.; RATHNAM, PREMILA;

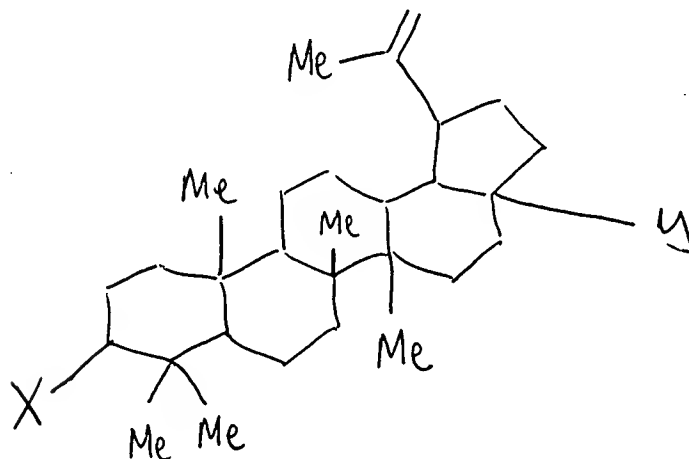
SAXENA, BRIJ B.

Earliest Priority Date: 6/4/97

Point of Contact:
Beverly Shears
Technical Info. Specialist
CM1 12C14 Tel: 308-4994

Applicants are claiming betulinol derivatives according to the formula below.

Substituent variables are defined on the attached sheet.

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STIC/TECH/CHEM. DIVISION

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Searcher: Beverly C. 4994

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Date Searcher Picked Up:

Date Completed: 01-19-00

Searcher Prep & Review Time:

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Type of Search

AA Sequence (#)

Structure (#)

Bibliographic

Litigation

Fulltext

Other

Vendors and Cost

STN Dialog

Questel/Orbit Dr. Link

Lexis/Nexis Westlaw

WWW/Internet

In-house sequence systems (list)

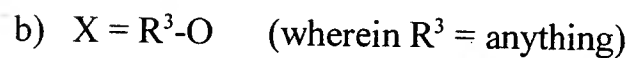
Other (specify)

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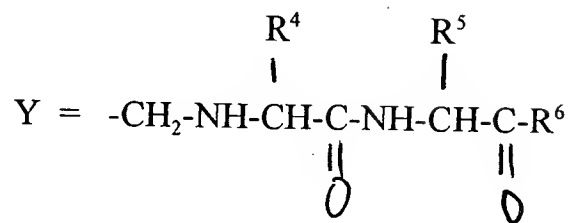
There are two possible combinations:



wherein R^1 and R^2 are both alkyl;



and concomitantly



(wherein $R^4, R^5, R^6 = \text{anything}$)

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=> fil reg; d que stat 112

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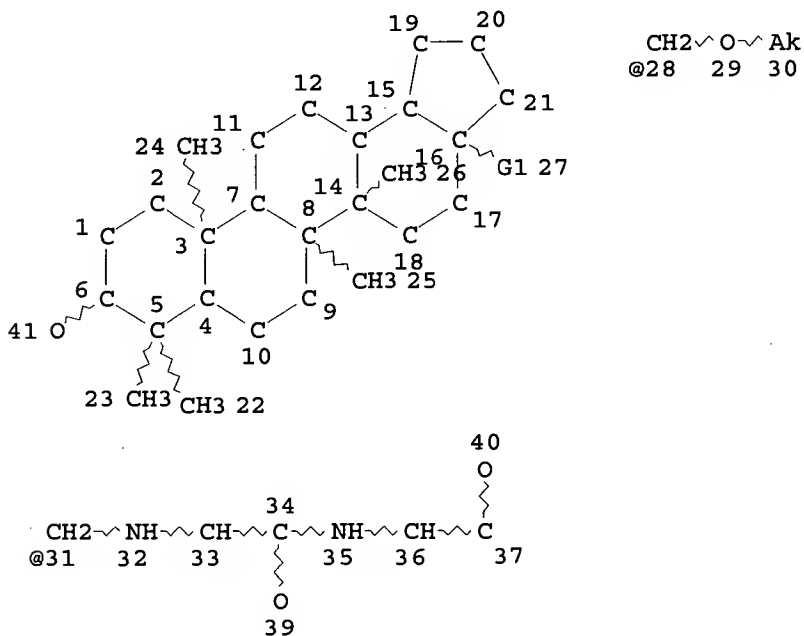
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DICTIONARY FILE UPDATES: 18 JAN 2000 HIGHEST RN 252991-37-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 13, 1999

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L5 STR



VAR G1=28/31
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 40

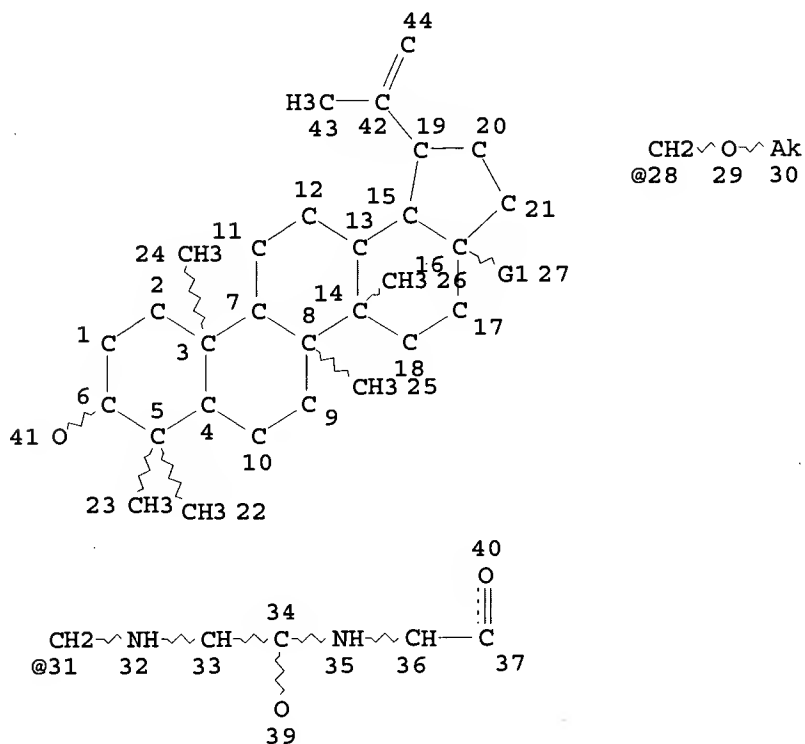
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09/089894

STEREO ATTRIBUTES: NONE

L7 277 SEA FILE=REGISTRY SSS FUL L5

L8 STR



VAR G1=28/31

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

L9 97 SEA FILE=REGISTRY SUB=L7 SSS FUL L8

L12 94 SEA FILE=REGISTRY ABB=ON PLU=ON L9 AND 1/NC

← Temp saved 7 days
← limit to one (1)
compd.

FILE 'CAPLUS' ENTERED AT 11:15:33 ON 19 JAN 2000

L13 94 SEA ABB=ON PLU=ON L12 OR L12/D

L14 2 SEA ABB=ON PLU=ON L13 AND (?TUMOUR? OR ?TUMOR? OR
?NEOPLAS? OR ?CARCIN? OR ?CANCER?)

=> sel hit l14 1-2 rn

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E1 THROUGH E3 ASSIGNED

=> d 1-2 ibib abs hitstr

L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 1998:806673 CAPLUS

DOCUMENT NUMBER: 130:52599

TITLE: synthesis and **antitumor** activity of
betulinol derivatives and monoclonal antibody
conjugates

INVENTOR(S): Bomshteyn, Arkadiy L.; Rathnam, Premila; Saxena,
Brij B.

PATENT ASSIGNEE(S): Cornell Research Foundation, Inc., USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

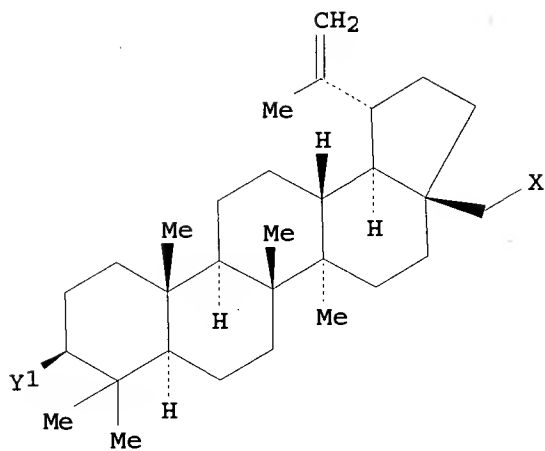
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
WO 9855497	A1	19981210	WO 1998-US11456	19980603
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,			
	DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP,			
	KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,			
	MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,			
	TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ,			
	MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,			
	ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,			
	CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9878135	A1	19981221	AU 1998-78135	19980603
PRIORITY APPLN. INFO.:			US 1997-48621	19970604
			WO 1998-US11456	19980603
OTHER SOURCE(S):	MARPAT 130:52599			
GI				

Searcher : Shears 308-4994



H₂NOCH₂CO- (Gly)₃-[Ly(COA¹)s]-Gly- II

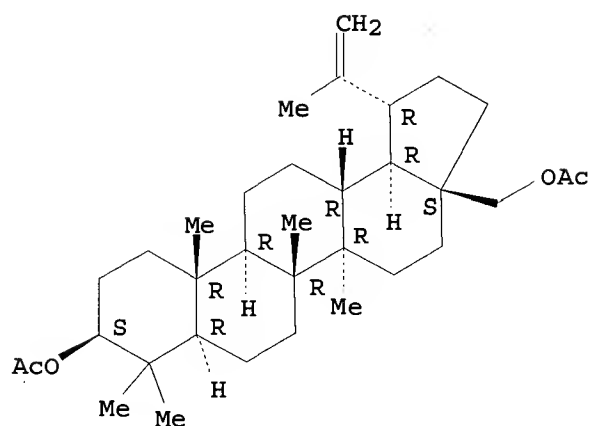
AB Syntheses of betulinol derivs. (I) (X, Y1 = independently OH, alkoxy, alkanoyloxy, -peptide-NHNH-C(O)-antibody-OH moiety) and betulinol-antibody conjugates (II) (A1 = I-peptide-NHN=CH, I-peptide-NHNH) are disclosed.

IT **1721-69-3P 217312-62-4DP**, monoclonal antibody conjugate **217312-62-4P 217312-63-5DP**, monoclonal antibody conjugate **217312-63-5P**
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthesis and **antitumor** activity of betulinol derivs. and monoclonal antibody conjugates)

RN 1721-69-3 CAPLUS

CN Lup-20(29)-ene-3,28-diol, diacetate, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

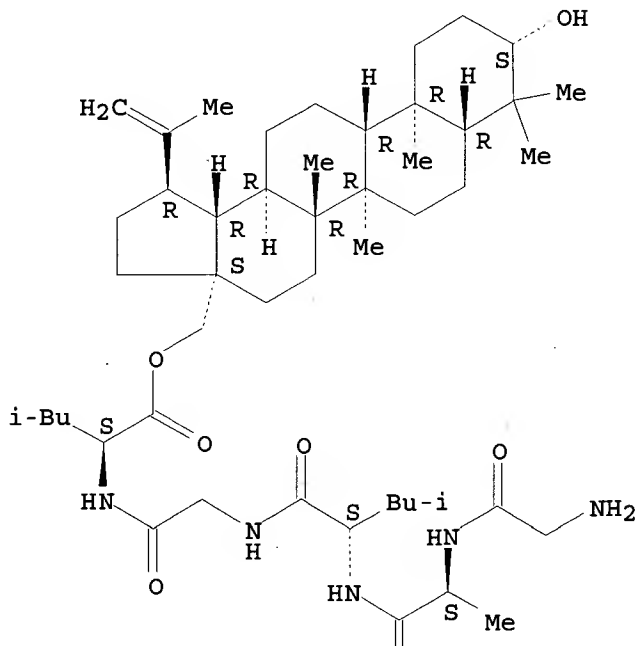


RN 217312-62-4 CAPLUS

CN L-Leucine, glycyl-L-alanyl-L-leucylglycyl-, (3.beta.)-3-hydroxylup-20(29)-en-28-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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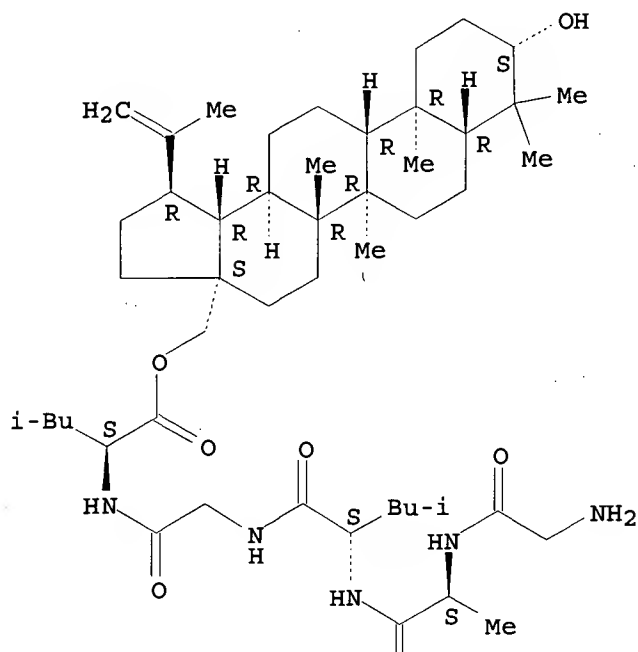
PAGE 2-A



RN 217312-62-4 CAPLUS
CN L-Leucine, glycyl-L-alanyl-L-leucylglycyl-, (3.beta.)-3-hydroxylup-
20(29)-en-28-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

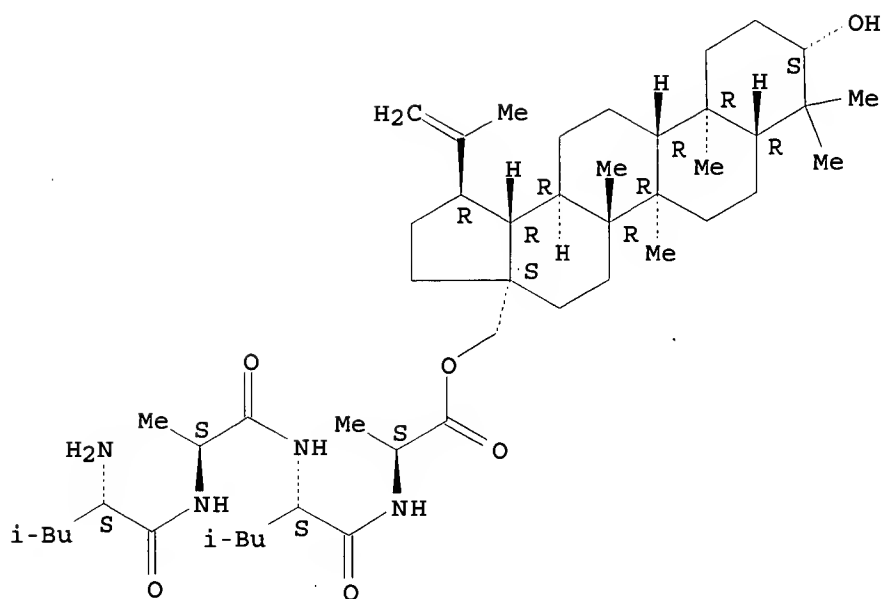


RN 217312-63-5 CAPLUS
CN L-Alanine, L-leucyl-L-alanyl-L-leucyl-, (3.beta.)-3-hydroxylup-
20(29)-en-28-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searcher : Shears 308-4994

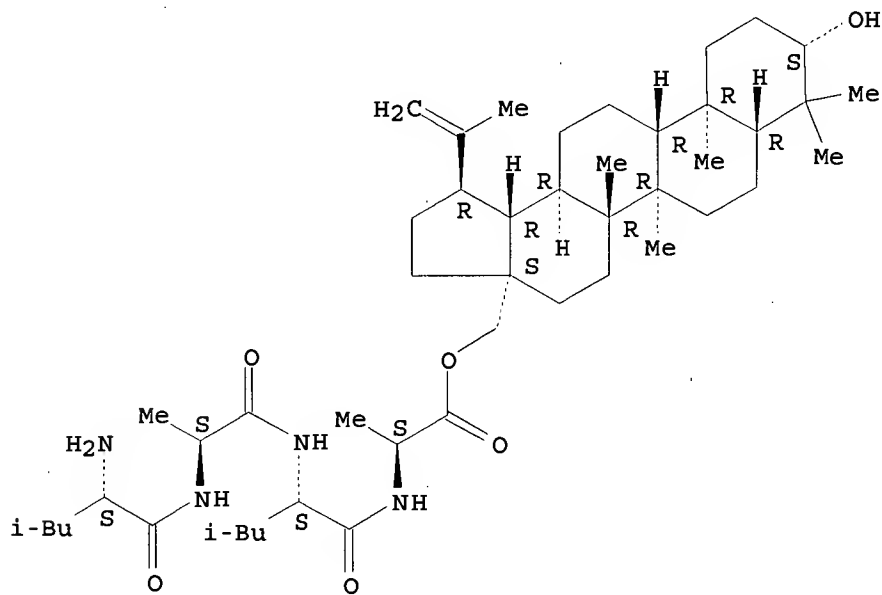
09/089894



RN 217312-63-5 CAPLUS

CN L-Alanine, L-leucyl-L-alanyl-L-leucyl-, (3.beta.)-3-hydroxylup-20(29)-en-28-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Searcher : Shears 308-4994

09/089894

L14 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 1974:445422 CAPLUS

DOCUMENT NUMBER: 81:45422

TITLE: Tumor inhibitors. I.

Antitumor activity of Sarracenia flava

AUTHOR(S): Miles, D. Howard; Kokpol, Udom; Zalkow, Leon H.;
Steindel, Steven J.; Nabors, James B.

CORPORATE SOURCE: Dep. Chem., Mississippi State Univ., Mississippi
State, Miss., USA

SOURCE: J. Pharm. Sci. (1974), 63(4), 613-15

CODEN: JPMSAE

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The chloroform and aq. exts. of the roots of Sarracenia flava had
antitumor activity against human epidermoid
carcinoma of the nasopharynx in vitro but not against L-1210
lymphoid leukemia in mice or Walker **carcinosarcoma** 256 in
rats. Betulin (I) [473-98-3], a known **tumor** inhibitor,
was identified as one active constituent. Betulinic acid [472-15-1]
was also identified, but it and 4 derivs. had little in vivo
tumor inhibitory activity.

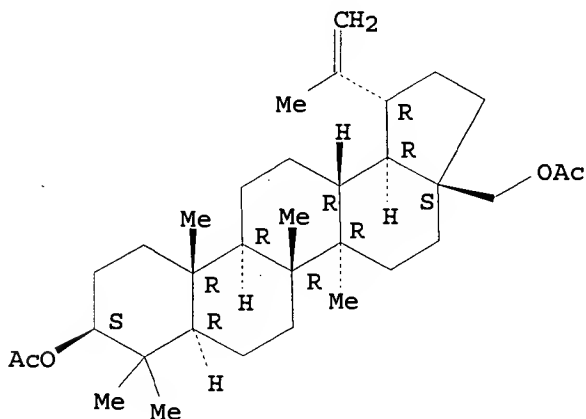
IT 1721-69-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 1721-69-3 CAPLUS

CN Lup-20(29)-ene-3,28-diol, diacetate, (3.beta.)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



=> fil reg; s e1-e3

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1 1721-69-3/BI
 (1721-69-3/RN)
1 217312-62-4/BI
 (217312-62-4/RN)
1 217312-63-5/BI
 (217312-63-5/RN)
L15 3 (1721-69-3/BI OR 217312-62-4/BI OR 217312-63-5/BI)

=> fil caold; s l15

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assignees are now searchable from 1907-1966. TIFF images of CA
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more information.

L16 22 L15

=> d 1-22; fil uspat; s l15

L16 ANSWER 1 OF 22 COPYRIGHT 2000 ACS
AN CA65:10640b CAOLD

Searcher : Shears 308-4994

09/089894

TI studies on *Sarcococca pruniformis*
AU Chatterjee, Asima; Mukherjee, K. S.; Dutta, C. P.
IT 473-98-3 1172-08-3 1721-69-3 4282-07-9 6901-38-8
13093-98-6 107537-37-1

L16 ANSWER 2 OF 22 COPYRIGHT 2000 ACS
AN CA64:15933e CAOLD
TI *Ougeinia dalbergioides*
AU Ghosh, Anil C.; Dutta, N. L.
IT 473-98-3 545-47-1 559-70-6 1617-68-1 1617-69-2
1721-69-3 5354-50-7

L16 ANSWER 3 OF 22 COPYRIGHT 2000 ACS
AN CA64:11028e CAOLD
TI thin-layer chromatography of tetra- and pentacyclic triterpenes and related compds.
AU Murakami, Takao; Itokawa, H.; Uzuki, F.; Sawada, N.
IT 83-45-4 83-48-7 465-94-1 465-99-6 468-67-7
473-98-3 545-46-0 545-48-2 559-70-6 559-74-0 1616-93-9
1617-72-7 1721-57-9 1721-61-5 1721-69-3 1721-78-4
1724-17-0 1896-77-1 1900-51-2 2259-07-6 3607-93-0
3650-05-3 4339-72-4 4651-48-3 5354-50-7 6168-61-2
6184-14-1 6785-78-0 7372-18-1 7372-20-5 7372-21-6
7372-23-8 7372-27-2 7372-30-7 7372-31-8 16844-71-6
17736-04-8 17990-42-0 17990-43-1 19666-76-3 67895-85-6
83110-13-8

L16 ANSWER 4 OF 22 COPYRIGHT 2000 ACS
AN CA63:18204d CAOLD
TI neutral components from the beech *Fagus sylvatica* - (I)
.beta.-sitosterol and betulin from the beech bark
AU Ludwiczak, Rufina S.; Szczawinska, K.
IT 1721-69-3

L16 ANSWER 5 OF 22 COPYRIGHT 2000 ACS
AN CA63:16773a CAOLD
TI carbohydrate concn. as a factor in the resistance of squash varieties to the pickleworm
AU Brett, Charles H.; McCombs, C. L.; Henderson, W. R.; Rudder, J. D.
IT 473-98-3 530-57-4 545-47-1 1721-69-3 1916-07-0
4356-30-3 4356-31-4 4356-32-5 4477-74-1 4550-88-3

L16 ANSWER 6 OF 22 COPYRIGHT 2000 ACS
AN CA63:7198f CAOLD
TI behavior of cellulose pulps during pressing in a continuous slurry press
AU Treiber, Erich; Wangberg, L.; Topham, A.
IT 1721-69-3 2418-45-3 4651-48-3 29548-30-9

Searcher : Shears 308-4994

L16 ANSWER 7 OF 22 COPYRIGHT 2000 ACS

AN CA63:639c CAOLD

TI gas chromatography of triterpenes - (I) ursanane, oleanane, and lupane groups

AU Ikekawa, Nobuo; Natori, S.; Itokawa, H.; Tobinaga, S.; Matsui, M.

IT 464-97-1 473-98-3 481-21-0 514-07-8 545-48-2
 559-74-0 863-76-3 989-71-9 990-89-6 1477-44-7 1616-03-1
 1721-55-7 1721-57-9 1721-58-0 1721-60-4 1721-69-3
 1721-75-1 1721-78-4 1721-81-9 1724-17-0 1896-77-1
 2027-59-0 3574-33-2 3730-87-8 5957-66-4 17736-04-8
 32208-45-0

L16 ANSWER 8 OF 22 COPYRIGHT 2000 ACS

AN CA62:10469b CAOLD

TI triterpenes - (IX) triterpenes from the bark of Sambucus nigra and the prepn. of 3-eipursolic acid

AU Huneck, Siegfried; Snatzke, G.

IT 473-98-3 506-52-5 593-49-7 863-76-3 915-32-2
 915-79-7 987-94-0 989-30-0 989-71-9 989-72-0 990-89-6
 1261-37-6 1721-69-3 6861-08-1 32208-45-0

L16 ANSWER 9 OF 22 COPYRIGHT 2000 ACS

AN CA61:14724d CAOLD

TI triterpenes of Alnus barbata bark

AU Matyukhina, L. G.

IT 508-09-8 545-24-4 1721-69-3 10376-50-8 108172-58-3

L16 ANSWER 10 OF 22 COPYRIGHT 2000 ACS

AN CA61:7057a CAOLD

TI occurrence of the lupeol group of terpenoids in Diospyros species

AU Row, L. Ramachandra; Rao, C. S.; Ramaiah, T. S.

IT 465-09-8 1617-68-1 1721-69-3 2259-06-5 3484-62-6
 3794-89-6 4356-30-3 10376-50-8 40286-36-0 107387-11-1

L16 ANSWER 11 OF 22 COPYRIGHT 2000 ACS

AN CA60:1215f CAOLD

TI mass spectrometry in structural and stereo-chem. problems - (XXXII) pentacyclic triterpenes

AU Budzikiewicz, Herbert; Wilson, J. M.; Djerassi, C.

IT 432-11-1 464-98-2 464-99-3 471-68-1 514-07-8
 559-73-9 998-30-1 1477-44-7 1617-70-5 1721-58-0
 1721-69-3 1896-77-1 2259-06-5 2800-77-3 3186-72-9
 3391-15-9 3399-27-7 4354-39-6 4356-32-5 4409-10-3
 4586-65-6 4611-08-9 5912-72-1 5945-53-9 6154-98-9
 6179-75-5 6756-14-5 6895-53-0 6895-55-2 6987-88-8
 10389-28-3 10483-91-7 10527-58-9 10527-59-0 17884-89-8
 20248-08-2 20475-86-9 22478-83-7 22611-26-3 25465-81-0
 26581-15-7 32337-22-7 33512-86-6 35933-00-7 38242-99-8
 39701-80-9 39701-82-1 43124-92-1 55887-94-0 55887-95-1

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55887-96-2 67667-63-4 70342-15-3 70360-19-9 72247-03-1
103664-93-3 104158-48-7 106631-20-3 107304-69-8 107656-64-4
107657-17-0 107657-18-1 107964-03-4

L16 ANSWER 12 OF 22 COPYRIGHT 2000 ACS

AN CA59:1691h CAOLD

TI triterpenes - (V) (1) identity of homoolestranol and erythrodiol

AU Huneck, Siegfried; Lehn, J. M.

IT 545-48-2 1617-68-1 1617-69-2 1721-69-3 1724-17-0
1896-77-1 5573-12-6 6246-44-2 10376-61-1 22570-53-2
26705-41-9 34625-57-5 62697-26-1 67462-70-8 74799-46-5
107297-41-6 107600-64-6

L16 ANSWER 13 OF 22 COPYRIGHT 2000 ACS

AN CA59:1209g CAOLD

TI nuclear magnetic resonance of natural products - (I) triterpenes of
the lupane series-methyl groups

AU Lehn, Jean; Ourisson, G.

IT 464-99-3 508-82-7 514-04-5 1617-68-1 1617-69-2
1721-69-3 2259-06-5 3186-72-9 3186-86-5 3418-94-8
4439-99-0 6610-54-4 6805-62-5 7372-31-8 13720-27-9
20066-05-1 20097-25-0 20097-32-9 21664-50-6 43124-92-1
55708-97-9 59837-67-1 103714-13-2 104242-59-3 105069-84-9
105069-86-1 105761-26-0 106500-16-7 106572-34-3 107278-62-6

L16 ANSWER 14 OF 22 COPYRIGHT 2000 ACS

AN CA58:5176d CAOLD

TI nuclear magnetic resonance of ⁵⁷Fe nuclei in hyperfine fields of
ordered Li ferrite

AU Le Dang Khoi; Bertaut, Felix

TI nuclear magnetic resonance spectra of pentacyclic triterpenes

AU Shamma, Maurice; Glick, R. E.; Mumma, R. O.

IT 990-89-6 1477-44-7 1721-57-9 1721-69-3 1724-17-0
1896-77-1 3186-86-5 3607-93-0 4660-99-5 6805-62-5
10301-74-3 15436-73-4 22418-03-7 22425-78-1 22478-85-9
25089-87-6 32208-45-0 33607-97-5 35933-01-8 55226-48-7
60044-05-5 89955-48-6 106785-68-6 107242-96-6 107660-71-9
107660-73-1

L16 ANSWER 15 OF 22 COPYRIGHT 2000 ACS

AN CA58:3463h CAOLD

TI furoquinolines - (XXII) synthesis of 4-methyl-2,3-dihydro
[2,3-b]quinoline and its analogs

AU Ohta, Tatsuo; Mori, Y.; Mihashi, S.

IT 1721-69-3 4356-30-3 4356-31-4 4477-74-1 4550-88-3
7372-31-8 10376-50-8 35928-12-2 92250-48-1 92297-82-0
92501-22-9 92652-02-3 92652-41-0

L16 ANSWER 16 OF 22 COPYRIGHT 2000 ACS

Searcher : Shears 308-4994

09/089894

AN CA58:2476c CAOLD
TI examn. of Saracococa pruniformis-identification of the neutral
constituents
AU Gopinath, K. W.; Kohli, J. M.; Kidwai, A. R.
IT 557-61-9 1721-69-3 5354-50-7 6861-08-1 107493-32-3

L16 ANSWER 17 OF 22 COPYRIGHT 2000 ACS

AN CA57:9895h CAOLD
TI syntheses in the lupane series
AU Lehn, Jean; Ourisson, G.
IT 464-99-3 1721-69-3 3186-72-9 3186-86-5 7372-31-8
20097-25-0 20097-30-7 20097-32-9 25576-27-6 43124-92-1
59837-67-1 59837-77-3 101229-11-2 104242-59-3 104377-18-6
105069-84-9 105069-86-1 106460-46-2 106500-16-7 106572-34-3
107278-62-6 107596-77-0 107928-14-3 107989-25-3

L16 ANSWER 18 OF 22 COPYRIGHT 2000 ACS

AN CA56:8653h CAOLD
TI visible absorption spectra of .alpha.-acylamonoanthraquinone series
AU Hayashi, Takayuki; Shibata, R.
IT 1617-68-1 1721-69-3 105123-34-0

L16 ANSWER 19 OF 22 COPYRIGHT 2000 ACS

AN CA56:510d CAOLD
TI steroids and related natural products - (VI) structure of
.alpha.-apoallobetulin
AU Pettit, George R.; Green, B.; Bowyer, W. J.
IT 1617-72-7 1721-69-3 6714-21-2 6790-65-4 104601-04-9
105070-21-1 106301-18-2 106784-93-4 106784-94-5

L16 ANSWER 20 OF 22 COPYRIGHT 2000 ACS

AN CA54:3494e CAOLD
TI identity of gratiolone and betulic acid
AU Vystrcil, Alois; Stejskalova-Vondraskova, E.; Cerny, J.
IT 472-15-1 473-98-3 1721-69-3 2259-06-5 4356-30-3
4356-31-4 6861-08-1 10246-36-3 60441-33-0 99064-34-3
104116-41-8

L16 ANSWER 21 OF 22 COPYRIGHT 2000 ACS

AN CA51:11857d CAOLD
TI infrared spectra of natural products - (VII) indentification and
location of ethylenic double bonds in pentacyclic triterpenoids
AU Cole, A. R. H.; Thornton, D. W.
IT 432-11-1 464-97-1 473-98-3 638-95-9 638-96-0
863-76-3 989-72-0 990-89-6 1617-68-1 1617-70-5 1721-57-9
1721-69-3 1724-17-0 2259-06-5 2309-01-5 2348-66-5
3607-93-0 4356-30-3 4356-31-4 4660-99-5 4748-12-3
5912-72-1 6024-61-9 6154-96-7 6610-54-4 7372-21-6
10301-74-3 13843-90-8 15071-78-0 18003-96-8 19471-96-6
Searcher : Shears 308-4994

09/089894

20555-16-2 22425-72-5 25488-52-2 25493-95-2 25529-07-1
25536-32-7 25536-67-8 27570-20-3 32205-31-5 34625-57-5
35933-00-7 35933-01-8 38242-01-2 55887-94-0 61242-51-1
64762-98-7 64762-99-8 64763-00-4 72692-00-3 97445-32-4
106065-42-3 108628-28-0 108630-60-0 108631-02-3 108760-33-4
117864-72-9 120614-60-0 120928-68-9 121291-14-3 121291-16-5
121291-17-6 122798-61-2

L16 ANSWER 22 OF 22 COPYRIGHT 2000 ACS

AN CA51:1100f CAOLD

TI terpenoids - (XXIII) interconversion of thurberogenin and betulinic acid

AU Djerassi, Carl; Hodges, R.

IT 472-15-1 473-98-3 1721-69-3 4356-30-3 13950-49-7
18303-59-8 21670-93-9 22477-79-8 22477-80-1 22478-84-8
24099-81-8 26581-15-7 27570-20-3 60441-33-0 108341-79-3
117026-94-5 119616-99-8 122492-42-6 122650-89-9 123079-63-0
123079-64-1 124107-29-5 124131-92-6

FILE 'USPATFULL' ENTERED AT 11:17:56 ON 19 JAN 2000

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 18 Jan 2000 (20000118/PD)

FILE LAST UPDATED: 18 Jan 2000 (20000118/ED)

HIGHEST PATENT NUMBER: US6016568

CA INDEXING IS CURRENT THROUGH 18 Jan 2000 (20000118/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 18 Jan 2000 (20000118/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 1999

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Nov 1999

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>>> is included in file records. A thesaurus is available for the <<<
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>>> fields. This thesaurus includes catchword terms from the <<<
>>> USPTO/MOC subject headings and subheadings. Thesauri are also <<<
>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<

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Searcher : Shears 308-4994

09/089894

L17 0 L15

=> fil marpat

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FILE CONTENT: 1988-PRESENT (VOL 108 ISS 12-VOL 132 ISS 3 (20000114/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	5994561	30 NOV 1999
DE	19914756	25 NOV 1999
EP	960571	01 DEC 1999
JP	11329496	30 NOV 1999
WO	9961451	02 DEC 1999

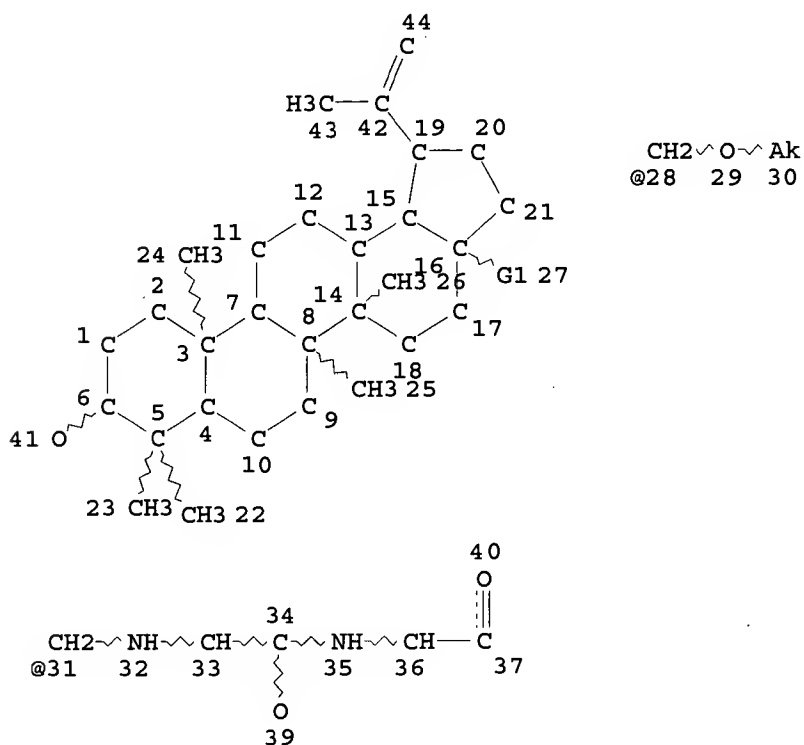
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L8 STR

Searcher : Shears 308-4994

09/089894



VAR G1=28/31

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L19 5 SEA FILE=MARPAT SSS FUL L8 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 61 ITERATIONS
SEARCH TIME: 00.00.16

5 ANSWERS

L19 ANSWER 1 OF 5 MARPAT COPYRIGHT 2000 ACS

ACCESSION NUMBER: 131:214464 MARPAT

TITLE: Preparation and anti-HIV activity of acylated
Searcher : Shears 308-4994

09/089894

INVENTOR(S): betulin and dihydrobetulin derivatives
Lee, Kuo-hsiung; Sun, I-chen; Wang, Hui-kang;
Cosentino, Louis Mark
PATENT ASSIGNEE(S): The University of North Carolina, Chapel Hill,
USA; BBI Biotech Research Laboratories, Inc.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945025	A1	19990910	WO 1999-US4605	19990302
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1998-PV76449	19980302

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Betulin and dihydrobetulin acyl derivs. I (R1 = C2-20
(un)substituted carboxyacyl, R2 = (un)substituted C2-20 carboxyacyl;
R3 = H, halo, amino, mono- or dialkylamino, OR4, R4 = H, C1-4
alkanoyl, benzoyl, (un)substituted C2-20 carboxyacyl; dashed line
represents a optional double bond) were prepd. and were found to
have potent anti-HIV activity. Thus, betulin was acylated with
3,3-dimethylglutaryl anhydride to give 3,28-di-O-(3,3,-
dimethylglutaryl)betulin (II). The anti-HIV EC50 of II was 0.00066
.mu.M.

IC ICM C07J053-00

CC 32-8 (Steroids)

Section cross-reference(s): 1, 10

ST acylated betulin dihydrobetulin deriv prepn anti HIV

IT Antiviral agents

Human immunodeficiency virus 1

(prepn. and anti-HIV activity of acylated betulin and
dihydrobetulin derivs.)

Searcher : Shears 308-4994

IT 473-98-3, Betulin
 RL: BAC (Biological activity or effector, except adverse); RCT
 (Reactant); BIOL (Biological study)
 (prepn. and anti-HIV activity of acylated betulin and
 dihydrobetulin derivs.)

IT 209798-91-4P 209798-93-6P, Lupa-2,20(29)-dien-28-ol
 RL: BAC (Biological activity or effector, except adverse); RCT
 (Reactant); SPN (Synthetic preparation); BIOL (Biological study);
 PREP (Preparation)
 (prepn. and anti-HIV activity of acylated betulin and
 dihydrobetulin derivs.)

IT 7372-31-8P 209798-85-6P 209798-86-7P 209798-87-8P
 209798-88-9P 209798-89-0P 209798-90-3P 209798-92-5P
 242460-66-8P
 RL: BAC (Biological activity or effector, except adverse); SPN
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and anti-HIV activity of acylated betulin and
 dihydrobetulin derivs.)

IT 4160-82-1, 3,3-Dimethylglutaric anhydride
 RL: RCT (Reactant)
 (prepn. and anti-HIV activity of acylated betulin and
 dihydrobetulin derivs.)

L19 ANSWER 2 OF 5 MARPAT COPYRIGHT 2000 ACS

ACCESSION NUMBER: 130:52599 MARPAT
 TITLE: synthesis and antitumor activity of betulinol
 derivatives and monoclonal antibody conjugates
 INVENTOR(S): Bomshteyn, Arkadiy L.; Rathnam, Premila; Saxena,
 Brij B.
 PATENT ASSIGNEE(S): Cornell Research Foundation, Inc., USA
 SOURCE: PCT Int. Appl., 56 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9855497	A1	19981210	WO 1998-US11456	19980603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,				
DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP,				
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,				
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,				
TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ,				
MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,				
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,				
CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

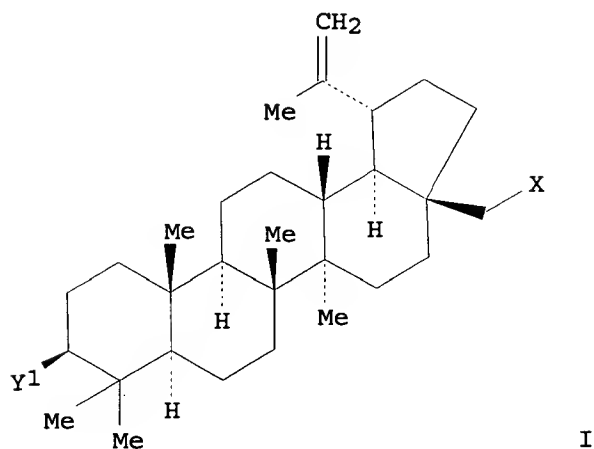
Searcher : Shears 308-4994

09/089894

AU 9878135 A1 19981221
PRIORITY APPLN. INFO.:

AU 1998-78135 19980603
US 1997-48621 19970604
WO 1998-US11456 19980603

GI



H₂NOCH₂CO- (Gly)₃- [Ly(COA¹)s]-Gly- II

AB Syntheses of betulinol derivs. (I) (X, Y1 = independently OH, alkoxy, alkanoyloxy, -peptide-NHNH-C(O)-antibody-OH moiety) and betulinol-antibody conjugates (II) (A1 = I-peptide-NHN=CH, I-peptide-NHNH) are disclosed.

IC ICM C07J001-00

CC 30-30 (Terpenes and Terpenoids)
Section cross-reference(s): 1, 34

ST betulinol peptide monoclonal antibody conjugates prepn

IT Antitumor agents

(synthesis and antitumor activity of betulinol derivs. and monoclonal antibody conjugates)

IT Monoclonal antibody conjugates

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and antitumor activity of betulinol derivs. and monoclonal antibody conjugates)

IT 1721-69-3P 4439-98-9P, Betulonic aldehyde 217312-62-4DP,
monoclonal antibody conjugate 217312-62-4P 217312-63-5DP,
monoclonal antibody conjugate 217312-63-5P

RL: BAC (Biological activity or effector, except adverse); SPN

Searcher : Shears 308-4994

09/089894

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and antitumor activity of betulinol derivs. and monoclonal antibody conjugates)

IT 473-98-3, Betulinol 99933-15-0 148134-13-8 148134-13-8D, monoclonal antibody conjugate 217312-61-3

RL: RCT (Reactant)

(synthesis and antitumor activity of betulinol derivs. and monoclonal antibody conjugates)

L19 ANSWER 3 OF 5 MARPAT COPYRIGHT 2000 ACS

ACCESSION NUMBER: 129:166219 MARPAT

TITLE: Ultramicroemulsions of spontaneously dispersible concentrates containing antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes

INVENTOR(S): Eugster, Carl; Eugster, Conrad Hans

PATENT ASSIGNEE(S): Marigen S.A., Switz.

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

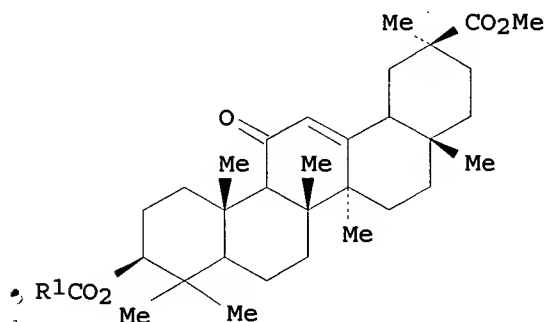
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9832443	A1	19980730	WO 1997-CH23	19970124
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 902685	A1	19990324	EP 1997-900535	19970124
R: DE, FR, GB, IT				
PRIORITY APPLN. INFO.:			WO 1997-CH23	19970124
GI				



Searcher : Shears 308-4994

- AB Stable aq. ultramicroemulsions prepd. from spontaneously dispersible Marigenol concs. of pentacyclic triterpene compds. related to betulin, enoxolone, oleanolic acid, lupeol, and ursolic acid, such as I (R1 = C3-31 alkyl or alkenyl, C17-23 alkapolyenyl, retinoyl) are useful for systemic treatment of tumors, eczema, psoriasis, viral and parasitic infections, and metabolic and immune disorders, as well as for lasting tumor prophylaxis and enhanced absorption of exogenous activators, modulators, and regulators. These triterpenes form globular micelles with a hydrodynamic radius of 2.2-3.0 nm having a surfactant shell. The dispersible conc. comprises .gtoreq.1 pentacyclic triterpene 0.1-10, synergistic pharmaceutical or cosmetic active agent 0-5, .gtoreq.1 hydrotrope or coemulsifier 1-25, .gtoreq.1 surfactant 5-90, (pro)vitamin .ltoreq.10, and stabilizer, radical scavenger, biol. vector, permeation enhancer, carrier, and/or diluent .ltoreq.10 wt.%. Phosphate esters and betaines are preferred surfactants. Thus, enteric-coated micropellets were prepd. by granulating Metolose 90 SH-4000 90.0, Avicel PH-101 80.3, Marigenol conc. of 3-O-all-trans-retinoyl oleanolate 139.4, and Aerosil 200 80.3 g with EtOH, sieving, and drying; 44 wt. parts of the granules were coated with the Marigenol conc. 25 and Aqoat AS-HG + talc 31 parts. This compn. was cytotoxic to Py6 virus-infected 3T3 mouse fibroblasts at a diln. of 512,000 after 96 h exposure.
- IC ICM A61K031-56
ICS A61K047-06
- CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 32
- ST pentacyclic triterpene antitumor antiviral; parasiticide pentacyclic triterpene emulsifiable conc
- IT Chlorination
(agents; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)
- IT Pellets (drug delivery systems)
(micro-; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)
- IT Antitumor agents
Antiviral agents
Capsules (drug delivery systems)
Eczema
Emulsifying agents
Granules (drug delivery systems)
Hydrotropes
Lozenges (drug delivery systems)
Parasitocides
Permeation enhancers
Psoriasis

Suppositories (drug delivery systems)

Surfactants

(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Triterpenes

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Acid chlorides (organic)

RL: RCT (Reactant)

(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Caprylic/capric triglycerides

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT Carboxylic acid esters

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(with terpenols; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 106-22-9, Citronellol 106-24-1, Geraniol 150-86-7 505-32-8, Isophytol 4602-84-0, Farnesol

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(esters with carboxylic acids; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 9005-64-5, Polysorbate 20 9036-19-5, Invadin JFC 800

105362-40-1, Soprophor FL 169275-34-7, Diphasol 3873

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(surfactant; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 7664-38-2D, Phosphoric acid, esters

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(surfactants; ultramicroemulsions of spontaneously dispersible concs. contg. antitumorally, antivirally, and antiparasitically active esters of pentacyclic triterpenes)

IT 77-52-1DP, Ursolic acid, esters 471-53-4DP, Enoxolone, esters

472-15-1P, Betulinic acid 473-98-3DP, Betulin, esters

508-02-1DP, Oleanolic acid, esters 545-47-1DP, Lupeol, esters

1477-44-7DP, esters 1617-72-7DP, Allobetulin, esters

Searcher : Shears 308-4994

1724-17-0DP, Methyl oleanolate, esters 2259-06-5DP, Methyl
betulinate, esters 5085-19-8P 5356-59-2P 32208-45-0DP, Methyl
ursolate, esters 106766-78-3P 107850-57-7P 211104-54-0P
211104-55-1P 211104-56-2P 211104-57-3P 211104-58-4P
211104-59-5P 211104-60-8P 211104-61-9P 211104-62-0P
211104-63-1P 211104-64-2P 211104-65-3P 211104-67-5P
RL: BAC (Biological activity or effector, except adverse); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)

(ultramicroemulsions of spontaneously dispersible concs. contg.
antitumorally, antivirally, and antiparasitically active esters
of pentacyclic triterpenes)

IT 601-34-3, Cholesteryl palmitate 1908-11-8, Cholesteryl laurate
2308-84-1, Stigmasteryl palmitate 2308-85-2, .beta.-Sitosteryl
palmitate 3992-98-1, Ergosteryl palmitate 7726-03-6, Cholesteryl
n-valerate 20242-97-1, Stigmasteryl laurate 22554-56-9
29398-23-0 39793-25-4 41005-65-6, .beta.-Sitosteryl laurate
59015-74-6, .beta.-Sitosteryl arachidate 110026-12-5, Cholestanyl
10-undecenoate 122295-96-9 137813-23-1, Vitamin D3 isovalerate
153023-84-8 203392-49-8 205057-63-2 211104-66-4 211104-68-6
211104-69-7 211104-70-0 211104-71-1 211230-83-0

RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)

(ultramicroemulsions of spontaneously dispersible concs. contg.
antitumorally, antivirally, and antiparasitically active esters
of pentacyclic triterpenes)

IT 112-16-3, Lauroyl chloride 302-79-4, all-trans-Retinoic acid
473-98-3, Betulin 508-02-1, Oleanolic acid 530-62-1,
1,1'-Carbonyldiimidazole 538-75-0, N,N'-Dicyclohexylcarbodiimide
1477-44-7 1617-72-7, Allobetulin 2259-06-5, Methyl betulinate
38460-95-6, 10-Undecenoyl chloride

RL: RCT (Reactant)

(ultramicroemulsions of spontaneously dispersible concs. contg.
antitumorally, antivirally, and antiparasitically active esters
of pentacyclic triterpenes)

IT 110-27-0, Isopropyl myristate 142-91-6, Isopropyl palmitate
157243-31-7, Marigenol

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ultramicroemulsions of spontaneously dispersible concs. contg.
antitumorally, antivirally, and antiparasitically active esters
of pentacyclic triterpenes)

L19 ANSWER 4 OF 5 MARPAT COPYRIGHT 2000 ACS

ACCESSION NUMBER: 129:582 MARPAT

TITLE: Use of betulin and analogs thereof to treat
herpesvirus infection

INVENTOR(S): Carlson, Robert M.; Krasutsky, Pavel A.; Karim,
M. Reza-Ul

PATENT ASSIGNEE(S): Regents of the University of Minnesota, USA

Searcher : Shears 308-4994

09/089894

SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5750578	A	19980512	US 1997-798900	19970211
WO 9834603	A1	19980813	WO 1998-US2445	19980211

W: CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE

PRIORITY APPLN. INFO.: US 1997-798900 19970211

AB A therapeutic method is provided for treating a mammal afflicted with a herpesvirus infection comprising administering an effective amt. of betulin or a deriv. thereof.

IC ICM A01N027-00
ICS A01N031-00; A61K039-245

NCL 514766000

CC 1-5 (Pharmacology)

ST betulin herpes virus infection; antiviral herpes betulin

IT Antiviral agents
Drug delivery systems
Human herpesvirus
Human herpesvirus 1
Human herpesvirus 2
Oral drug delivery systems
Parenteral solutions (drug delivery systems)
Topical drug delivery systems
(betulin and analogs thereof to treat herpesvirus infection)

IT Glycosides
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(betulin and analogs thereof to treat herpesvirus infection)

IT Birch (Betula)
(betulin from; betulin and analogs thereof to treat herpesvirus infection)

IT 473-98-3P, Betulin
RL: BAC (Biological activity or effector, except adverse); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(betulin and analogs thereof to treat herpesvirus infection)

IT 473-98-3D, Betulin, derivs. 207496-66-0
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(betulin and analogs thereof to treat herpesvirus infection)

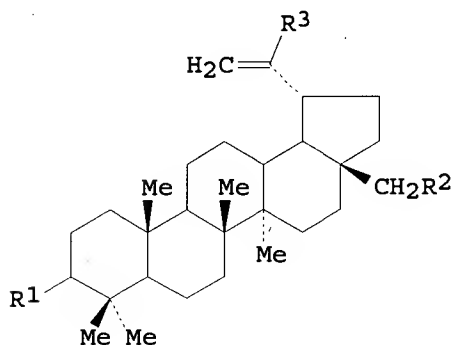
Searcher : Shears 308-4994

L19 ANSWER 5 OF 5 MARPAT COPYRIGHT 2000 ACS

ACCESSION NUMBER: 112:48788 MARPAT
 TITLE: Anticancer agents containing betulins
 INVENTOR(S): Yamaguchi, Hiroko; Sugimoto, Masanobu; Asano, Kaoru; Murakami, Takao; Tanaka, Nobutoshi
 PATENT ASSIGNEE(S): Toa Nenryo Kogyo K. K., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01143832	A2	19890606	JP 1987-301580	19871201

GI



AB Anticancer agents contain betulins I (R1, R2 = OH, C.1toreq.18 acyloxy, tosyloxy, R1 = R2 .noteq. OH; R3 = Me, halomethyl) as active ingredients. I (R1 = R2 = AcO, R3 = Me) administered at 0.1 mg/kg i.p. to sarcoma 180-bearing mice for 10 doses markedly inhibited the growth of the sarcoma cells.

IC ICM A61K031-22

ICS A61K031-255; A61K031-56

ICA C07C069-03; C07C143-68

CC 1-6 (Pharmacology)

ST betulins anticancer; neoplasm inhibitor betulins deriv

IT Neoplasm inhibitors
(betulins as)

IT 43124-92-1, L 220 54973-27-2 124368-38-3, L 330 124368-39-4, L
 22BR 124445-29-0, L 440 124445-30-3, L 550

Searcher : Shears 308-4994

09/089894

RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(anticancer activity of)

FILE 'MARPATPREV' ENTERED AT 11:19:10 ON 19 JAN 2000
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MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
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US	5994561	30	NOV	1999
DE	19914373	02	DEC	1999
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JP	11335370	07	DEC	1999
WO	9963612	09	DEC	1999

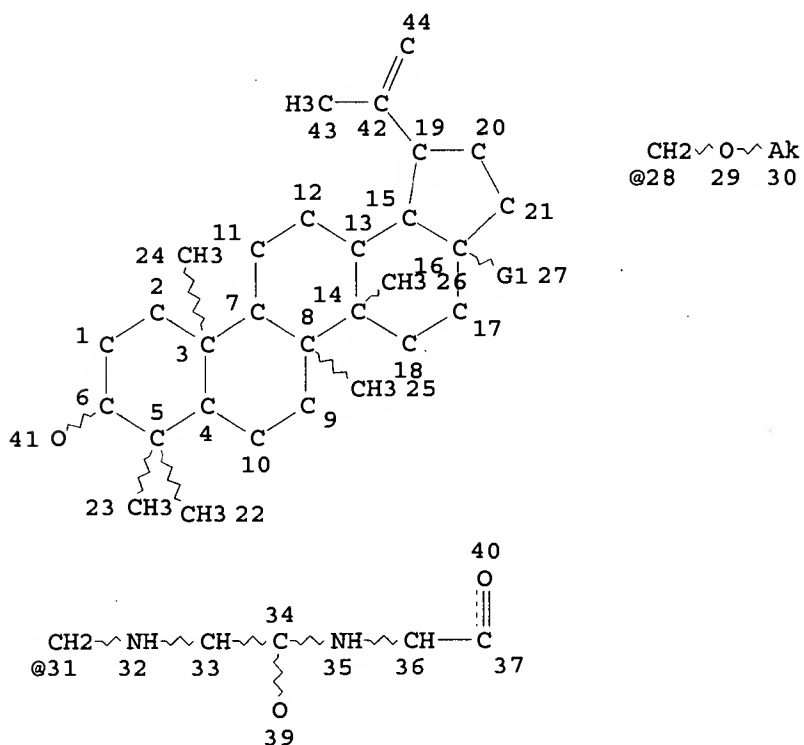
MARPATprev structure search limits have been raised.
Enter HELP SLIMIT for details.

=> d que stat; fil hom

L8 STR

Searcher : Shears 308-4994

09/089894



VAR G1=28/31

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L20 0 SEA FILE=MARPATPREV SSS FUL L8 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.02

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=> d his; d cost

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